EAST Search History

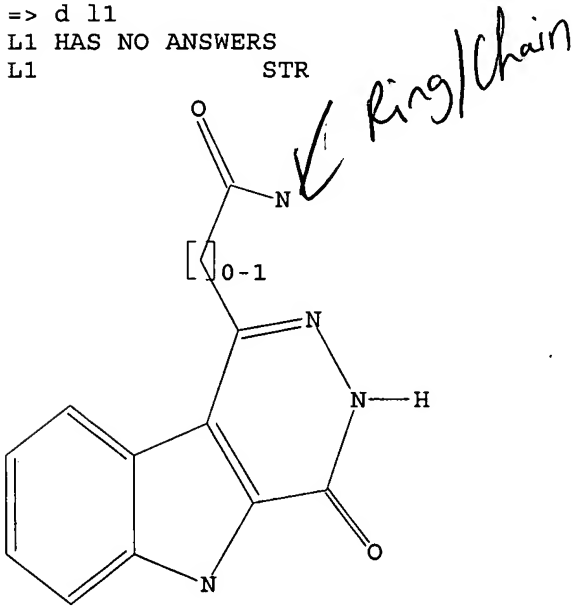
Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	2559	544/115, 544/234, 514/232.8, 514/248	US-PGPUB; USPAT	OR	OFF	2006/12/06 13:38
L2	3	benzo-diazepine adj receptor$	US-PGPUB; USPAT	OR	OFF	2006/12/06 13:38
L3	1	L1 and L2	US-PGPUB; USPAT	OR	OFF	2006/12/06 13:38
L4	12461	benzo-diazepin$ or benzodiazepin$	US-PGPUB; USPAT	OR	OFF	2006/12/06 13:39
L6	202	l1 and l4	USPAT	OR	OFF	2006/12/06 13:39

chain nodes :
14 16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13
ring/chain nodes :
20
chain bonds :
10-17 12-16 13-14 17-18 18-19 18-20
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13
exact/norm bonds :
6-9 7-8 7-10 8-9 8-13 10-11 11-12 12-13 13-14 18-19 18-20
exact bonds :
5-7 10-17 12-16 17-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS
20:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Ring/Chain

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 12:35:47 FILE 'REGISTRY'

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SAMPLE SCREEN SEARCH COMPLETED -       42 TO ITERATE

100.0% PROCESSED       42 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          452 TO     1228
PROJECTED ANSWERS:               1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 12:35:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      887 TO ITERATE

100.0% PROCESSED      887 ITERATIONS                                6 ANSWERS
SEARCH TIME: 00.00.01

L3            6 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     166.94     167.15

FILE 'CAPLUS' ENTERED AT 12:35:57 ON 06 DEC 2006
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FILE LAST UPDATED: 5 Dec 2006  (20061205/ED)

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=> s l3
L4            2 L3

=> d ibib abs hitstr tot
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own work

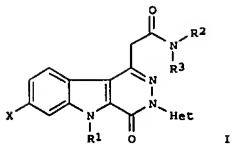
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:796709 CAPLUS
DOCUMENT NUMBER: 139:307782
TITLE: Preparation of 3-heteroaryl-3,5-dihydro-4-oxo-4H-pyridazino[4,5-b]indole-1-acetamides as benzodiazepine receptor ligands for treatment of peripheral neuropathy and neurodegenerative diseases
INVENTOR(S): Froissant, Jacques; Marabout, Benoit; Marguet, Frank; Puech, Frederic
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003082874	A2	20031009	WO 2003-FR1027	20030402
WO 2003082874	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2838124	A1	20031010	FR 2002-4158	20020403
FR 2838124	B1	20040528		
CA 2481460	AA	20031009	CA 2003-2481460	20030402
AU 2003240930	A1	20031013	AU 2003-240930	20030402
EP 1492792	A2	20050105	EP 2003-730299	20030402
EP 1492792	B1	20060329		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003009018	A	20050201	BR 2003-9018	20030402
US 2005124615	A1	20050609	US 2003-509695	20030402
CN 1656099	A	20050817	CN 2003-812222	20030402
JP 2005527566	T2	20050915	JP 2003-580339	20030402
AT 321763	E	20060415	AT 2003-730299	20030402
PT 1492792	T	20060831	PT 2003-730299	20030402
NO 2004004153	A	20041227	NO 2004-4153	20040930
ZA 2004007945	A	20051003	ZA 2004-7945	20041001

PRIORITY APPLN. INFO.:
FR 2002-4158 A 20020403
WO 2003-FR1027 W 20030402

OTHER SOURCE(S): MARPAT 139:307782
GI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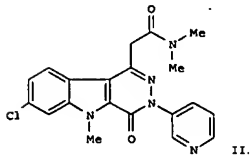

II

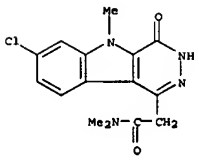
AB Title compds. I [wherein X = H, halo; R1 = H, alkyl; R2, R3 = independently H, alkyl; NR2R3 = pyrrolidinyl, piperidinyl, morpholinyl, alkylpiperazinyl; Het = (un)substituted pyridinyl, quinolinyl, isoquinolinyl, pyrimidinyl, pyrazinyl, pyridazinyl; their salts, solvates or hydrates, and pharmaceutical compns.] were prepared as peripheral benzodiazepine receptor ligands. For example, II•HCl (m.p. = 250-252°) was prepared by condensation of Me 6-chloro-1-methyl-1H-indole-2-carboxylate with Et 3-chloro-3-oxopropanoate in DCE in the presence of TiCl4 for 12 h at room temperature, amidation with dimethylamine in toluene in the presence of DMAP, cyclization with hydrazine in toluene in the presence of catalytic amts. of PTSA, followed by N-arylation of the pyridazino[4,5-b]indole intermediate with 2-(pyridin-3-yl)-1,3,2-dioxaborinane in the presence of pyridine/TEA/Cu(OAc)2/mol. sieves. I inhibited [3H]Ro5-4864 binding to the peripheral benzodiazepine receptor in vitro with IC50 in the range of ≥-200 nM. I increased neuron survival by 10-30% in a facial nerve lesion assay in 4-day old rats. I are useful as neuroprotectants for treatment of peripheral neuropathy and neurodegenerative diseases (no data).

IT 610768-29-1P, 7-Chloro-5-methyl-4-oxo-1-[(N,N-dimethylaminocarbonyl)methyl]-3,5-dihydro-4H-pyridazino[4,5-b]indole
610768-31-5P, 7-Chloro-5-methyl-4-oxo-1-[((4-methylpiperazin-1-yl)carbonyl)methyl]-3,5-dihydro-4H-pyridazino[4,5-b]indole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

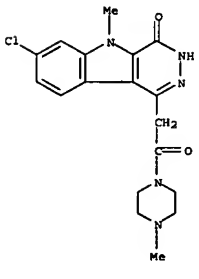
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

(intermediate; prepn. of heteroaryl dihydrooxo pyridazinoindoleacetamides as peripheral benzodiazepine receptor ligands)

RN 610768-29-1 CAPLUS
CN 3H-Pyridazino[4,5-b]indole-1-acetamide, 7-chloro-4,5-dihydro-N,N,5-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



RN 610768-31-5 CAPLUS
CN Piperazine, 1-[(7-chloro-4,5-dihydro-5-methyl-4-oxo-3H-pyridazino[4,5-b]indol-1-yl)acetyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

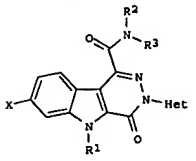
ACCESSION NUMBER: 2003:492189 CAPLUS
DOCUMENT NUMBER: 139:69291
TITLE: 3-Heteroaryl-3,5-dihydro-4-oxo-4H-pyridazino[4,5-b]indole-1-carboxamide derivatives, their preparation, and their application in therapeutics
INVENTOR(S): Burnier, Philippe; Froissant, Jacques; Marabout, Benoit; Marguet, Frank; Puech, Frederic
PATENT ASSIGNEE(S): Sanofi-Synthelabo S.A., Fr.
SOURCE: Fr. Demande, 37 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2833953	A1	20030627	FR 2001-16701	20011221
FR 2833953	B1	20041203		
CA 2465750	AA	20030710	CA 2002-2465750	20021120
WO 2003055884	A1	20030710	WO 2002-FR3979	20021120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002361325	A1	20030715	AU 2002-361325	20021120
EP 1458721	A1	20040922	EP 2002-796852	20021120
EP 1458721	B1	20061122		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002015174	A	20041130	BR 2002-15174	20021120
HU 200402578	A2	20050329	HU 2004-2578	20021120
CN 1604898	A	20050406	CN 2002-825335	20021120
JP 2005513158	T2	20050512	JP 2003-556414	20021120
ZA 2004004245	A	20050531	ZA 2004-4245	20040531
NO 2004002536	A	20040921	NO 2004-2536	20040617
US 2005096321	A1	20050505	US 2004-499725	20040621
US 7109194	B2	20060919		
US 2006241116	A1	20061026	US 2006-427508	20060629

PRIORITY APPLN. INFO.:
FR 2001-16701 A 20011221
WO 2002-FR3979 W 20021120
WO 2002-FR5338 W 20021120
US 2004-499725 A1 20040621

OTHER SOURCE(S): MARPAT 139:69291
GI

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

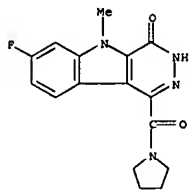


I

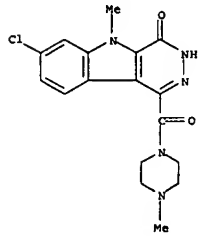
AB The invention has as an aim the compds. of general formula I in which X represents an atom of hydrogen or halogen; R represents a hydrogen atom or C1-4 alkyl; R2 and R3 represent each one, independently one of the other, a hydrogen atom or C1-4 alkyl, or R2 and R3 form, with the nitrogen atom which carries them, a pyrrolidinyl group, piperidinyl, morpholinyl or 4-alkylpiperazinyl; and Het represents a heteroarom. group of pyridinyl, quinolinyl, isoquinolinyl, pyrimidinyl, pyrazinyl, pyridazinyl; the heteroarom. group being able to carry one or more atoms of halogen and/or one or more C1-4 alkyl, C1-4 alkoxy; as bases, additive salts with acids, solvates or hydrates; pharmaceutical compns. containing these compds., and the process for prepn of them and of intermediates of the synthesis. These compds. increase the neuron survival by 10-30% in 4-day old rats. Thus, adding 54 g 4-fluoro-2-nitrotoluene in THF to THF-MeOH containing 47 g KOCMe3, and 61.2 g Et oxalate at -5°, stirring 12 h at room temperature, dissolving the resulting K salts in EtOH, adding small portions of 80 mL HCl at 0°, portionwise adding 35 g Fe, heating 5 h at reflux, adding 12 mL MeI in DMF to suspension of 7.9 g NaH and 36.1 g resulting Me 6-fluoro-1H-indole-2-carboxylate containing 10-20% Et ester in DMF, stirring 12 h, adding 10 g resulting Me 6-fluoro-1-methyl-1H-indole-2-carboxylate containing 10-20% Et ester to a mixture containing Et chlorooxoacetate 6.7, 1,2-dichloroethane 220 mL, and TiCl4 6.6 mL at 0°, stirring 12 h at room temperature, refluxing 0.4 g resulting Et 6-fluoro-2-(methoxycarbonyl)-1-methyl-α-oxo-1H-indole-3-acetate containing 10-20% 2-ethoxycarbonyl derivative with several drops of HOAc and 0.6 g 2-pyridinylhydrazine in EtOH for 17 h, and amidating the resulting Et 7-fluoro-5-methyl-4-oxo-3-(pyridin-2-yl)-3,5-dihydro-4H-pyridazino[4,5-b]indole-1-carboxylate gave I (X = F, R1 = R2 = R3= Me, Het = 2-pyridinyl).

IT 550349-38-7P 550349-41-2P 550349-45-6P 550349-47-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



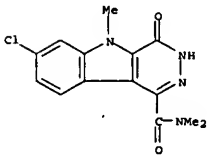
RN 550349-47-8 CAPLUS
CN Piperazine, 1-[(7-chloro-4,5-dihydro-5-methyl-4-oxo-3H-pyridazino[4,5-b]indol-1-yl)carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



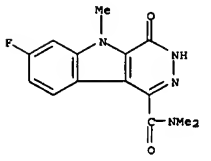
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
(precursor; heteroaryldihydrooxopyridazinondolecarboxamide derivs. for neuroprotectants)

RN 550349-38-7 CAPLUS
CN 3H-Pyridazino[4,5-b]indole-1-carboxamide, 7-chloro-4,5-dihydro-N,N,5-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



RN 550349-41-2 CAPLUS
CN 3H-Pyridazino[4,5-b]indole-1-carboxamide, 7-fluoro-4,5-dihydro-N,N,5-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



RN 550349-45-6 CAPLUS
CN Pyrrolidine, 1-[(7-fluoro-4,5-dihydro-5-methyl-4-oxo-3H-pyridazino[4,5-b]indol-1-yl)carbonyl]- (9CI) (CA INDEX NAME)

KH

Day : Wednesday

Date: 12/6/2006
Time: 13:42:50

PALM INTRANET

Inventor Information for 10/509695

Inventor Name	City	State/Country
FROISSANT, JACQUES	MOREE,	FRANCE
MARABOUT, BENOIT	MASSY	FRANCE
MARGUET, FRANK	VERRIERES LE BUISSON	FRANCE
PUECH, FREDERIC	LA CELLE SAINT CLOUD	FRANCE

Appln Info | Contents | Petition Info | Atty/Agent Info | Continuity/Reexam | Foreign D

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PCT / [ ] / [ ] Search **or PG PUBS #** [ ] Search

Attorney Docket # [ ] Search

Bar Code # [ ] Search

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